

PATENT COOPERATION TREATY

PCT

INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY



(Chapter II of the Patent Cooperation Treaty)

(PCT Article 36 and Rule 70)

Applicant's or agent's file reference PCA40215-KIT - BY	FOR FURTHER ACTION See Form PCT/IPEA/416	
International application No. PCT/KR2004/001996	International filing date(day/month/year) 09 AUGUST 2004 (09.08.2004)	Priority date (day/month/year) 12 AUGUST 2003 (12.08.2003)
International Patent Classification (IPC) or national classification and IPC IPC7 C07D 403/06, A61K 31/41, A61P 31/10		
Applicant KOREA RESEARCH INSTITUTE OF CHEMICAL TECHNOLOGY et al		



- This report is the international preliminary examination report, established by this International Preliminary Examining Authority under Article 35 and transmitted to the applicant according to Article 36.
- This REPORT consists of a total of 3 sheets, including this cover sheet.
- This report is also accompanied by ANNEXES, comprising:
 - ☐ (sent to the applicant and to the International Bureau) a total of _____ sheets, as follows:
 - ☐ sheets of the description, claims and/or drawings which have been amended and are the basis for this report and/or sheets containing rectifications authorized by this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions).
 - ☐ sheets which supersede earlier sheets, but which this Authority considers contain an amendment that goes beyond the disclosure in the international application as filed, as indicated in item 4 of Box No. I and the Supplemental Box.
 - ☐ (sent to the International Bureau only) a total of (indicate type and number of electronic carrier(s)) _____ containing a sequence listing and/or tables related thereto, in electronic form only, as indicated in the Supplemental Box relating to Sequence Listing (see Section 802 of the Administrative Instructions).
- This report contains indications relating to the following items:
 - ☒ Box No. I Basis of the report
 - ☐ Box No. II Priority
 - ☐ Box No. III Non-establishment of opinion with regard to novelty, inventive step and industrial applicability
 - ☐ Box No. IV Lack of unity of invention
 - ☒ Box No. V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement
 - ☐ Box No. VI Certain documents cited
 - ☐ Box No. VII Certain defects in the international application
 - ☐ Box No. VIII Certain observations on the international application

Date of submission of the demand 13 JUNE 2005 (13.06.2005)	Date of completion of this report 08 AUGUST 2005 (08.08.2005)
Name and mailing address of the IPEA/KR  Korean Intellectual Property Office 920 Dunsan-dong, Seo-gu, Daejeon 302-701, Republic of Korea Facsimile No. 82-42-472-7140	Authorized officer LEE, Mi Jeong Telephone No. 82-42-481-5601 

INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY

International application No.

PCT/KR2004/001996

Box No. I Basis of the report

1. With regard to the **language**, this report is based on the international application in the language in which it was filed, unless otherwise indicated under this item.

- ☐ This report is based on translations from the original language into the following language _____ which is the language of a translation furnished for the purposes of:
- ☐ international search (under Rules 12.3 and 23.1(b))
 - ☐ publication of the international application (under Rule 12.4)
 - ☐ international preliminary examination (under Rules 55.2 and/or 55.3)

2. With regard to the **elements** of the international application, this report is based on *(replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report)*:

- ☒ the international application as originally filed/furnished
- ☐ the description:
 pages _____ as originally filed/furnished
 pages* _____ received by this Authority on _____
 pages* _____ received by this Authority on _____
- ☐ the claims:
 pages _____ as originally filed/furnished
 pages* _____ as amended (together with any statement) under Article 19
 pages* _____ received by this Authority on _____
 pages* _____ received by this Authority on _____
- ☐ the drawings:
 pages _____ as originally filed/furnished
 pages* _____ received by this Authority on _____
 pages* _____ received by this Authority on _____
- ☐ the sequence listing and/or any related table(s) - see Supplemental Box Relating to Sequence Listing.

3. ☐ The amendments have resulted in the cancellation of:

- ☐ the description, pages _____
- ☐ the claims, Nos. _____
- ☐ the drawings, sheets _____
- ☐ the sequence listing (*specify*): _____
- ☐ any table(s) related to sequence listing (*specify*): _____

4. ☐ This report has been established as if (some of) the amendments annexed to this report and listed below had not been made, since they have been considered to go beyond the disclosure as filed, as indicated in the Supplemental Box (Rule 70.2(c)).

- ☐ the description, pages _____
- ☐ the claims, Nos. _____
- ☐ the drawings, sheets _____
- ☐ the sequence listing (*specify*): _____
- ☐ any table(s) related to sequence listing (*specify*): _____

* If item 4 applies, some or all of those sheets may be marked "superseded."

INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY

International application No.

PCT/KR2004/001996

Box No. V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

1. Statement

Novelty (N)	Claims	1 - 3	YES
	Claims		NO
Inventive step (IS)	Claims	1 - 3	YES
	Claims		NO
Industrial applicability (IA)	Claims	1 - 3	YES
	Claims		NO

2. Citations and explanations (Rule 70.7)

The following documents are referred to in this report:

D1: US 6015825 A (18 January 2000)
D2: US 5495024 A (27 February 1996)
D3: US 2001/0012843 A1 (9 August 2001)
D4: US 5478826 A (26 December 1995)

1. Novelty and Inventive Step

The present invention relates to an azole derivative of formula (I) having a fluorovinyl moiety with antifungal activity against a wide spectrum of pathogenic fungi and advantageously low toxicity. D1 discloses triazole derivatives which have antifungal activity and are useful in the treatment of fungal infections in animals, including humans.

D2 discloses an optically active azole compound which is useful as an antifungal agent.

D3 discloses water-soluble prodrugs of triazole antifungal compounds having a secondary or tertiary hydroxy group.

D4 discloses orally active azole derivatives with antifungal activity.

None of D1-D4 discloses an azole derivative of formula (I) in the present invention.

The antifungal azole derivatives in D1-D4 differ from the compounds of formula (I) in the present invention in that the compounds of formula (I) have different heteroaryl and aryl moieties including A on the right side of the backbone structure.

Those who skilled in the art would not be able to expect the changes in the backbone structure of the antifungal azole derivatives of formula (I) in the present invention from D1-D4.

Therefore, claims 1-3 of the present invention are considered to be novel and involve an inventive step over D1-D4 [Article 33(2) and 33(3) PCT].

2. Industrial Applicability

The subject-matter of claims 1-3 appears to be industrially applicable [Article 33(4) PCT].